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structures available in REGISTRY  
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NEWS 11 Apr 14 MEDLINE Reload  
NEWS 12 Apr 17 Polymer searching in REGISTRY enhanced  
NEWS 13 AUG 15 Indexing from 1937 to 1946 added to records in CA/CAPLUS  
NEWS 14 Apr 21 New current-awareness alert (SDI) frequency in  
WPIDS/WPINDEX/WPIX  
NEWS 15 Apr 28 RDISCLOSURE now available on STN  
NEWS 16 May 05 Pharmacokinetic information and systematic chemical names  
added to PHAR  
NEWS 17 May 15 MEDLINE file segment of TOXCENTER reloaded  
NEWS 18 May 15 Supporter information for ENCOMPPAT and ENCOMPLIT updated  
NEWS 19 May 19 Simultaneous left and right truncation added to WSCA  
NEWS 20 May 19 RAPRA enhanced with new search field, simultaneous left and  
right truncation  
NEWS 21 Jun 06 Simultaneous left and right truncation added to CBNB  
NEWS 22 Jun 06 PASCAL enhanced with additional data  
NEWS 23 Jun 20 2003 edition of the FSTA Thesaurus is now available  
NEWS 24 Jun 25 HSDB has been reloaded  
NEWS 25 Jul 16 Data from 1960-1976 added to RDISCLOSURE  
NEWS 26 Jul 21 Identification of STN records implemented  
NEWS 27 Jul 21 Polymer class term count added to REGISTRY  
NEWS 28 Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and  
Right Truncation available  
NEWS 29 AUG 05 New pricing for EUROPATFULL and PCTFULL effective  
August 1, 2003  
NEWS 30 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN  
NEWS 31 AUG 15 PATDPAFULL: one FREE connect hour, per account, in  
September 2003  
NEWS 32 AUG 15 PCTGEN: one FREE connect hour, per account, in  
September 2003  
NEWS 33 AUG 15 RDISCLOSURE: one FREE connect hour, per account, in  
September 2003  
NEWS 34 AUG 15 TEMA: one FREE connect hour, per account, in  
September 2003  
NEWS 35 AUG 18 Data available for download as a PDF in RDISCLOSURE  
NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT

MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
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FILE 'HOME' ENTERED AT 12:43:55 ON 18 AUG 2003

=> fil reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:44:37 ON 18 AUG 2003  
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STRUCTURE FILE UPDATES: 15 AUG 2003 HIGHEST RN 567484-39-3  
DICTIONARY FILE UPDATES: 15 AUG 2003 HIGHEST RN 567484-39-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

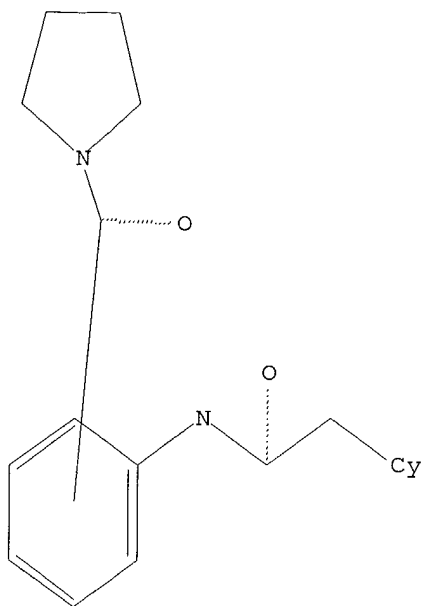
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STN Note 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>  
Uploading 10051412.str

L1 STRUCTURE UPLOADED

=> d  
L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:44:52 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 3401 TO ITERATE

29.4% PROCESSED 1000 ITERATIONS 3 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 64524 TO 71516  
PROJECTED ANSWERS: 13 TO 395

L2 3 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 12:44:54 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 67670 TO ITERATE

100.0% PROCESSED 67670 ITERATIONS 152 ANSWERS  
SEARCH TIME: 00.00.02

L3 152 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 12:44:59 ON 18 AUG 2003  
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FILE COVERS 1907 - 18 Aug 2003 VOL 139 ISS 8  
FILE LAST UPDATED: 17 Aug 2003 (20030817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

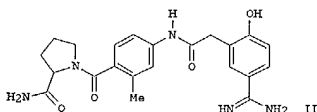
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L4 9 L3

=> d ibib abs hitstr 1-9

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2002:615560 CAPLUS  
 DOCUMENT NUMBER: 137169322  
 TITLE: Preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinop  
 benylacetamides and analogs as factor Xa inhibitors  
 INVENTOR(S): Ries, Uwe-Joerg; Priepke, Henning; Nar, Herbert;  
 Stassen, Jean-Marie; Wienen, Wolfgang  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: PCT Int. Appl., 87 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062748	A1	20020815	WO 2002-EP827	20020126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10104598	A1	20020808	DE 2001-10104598	20010202
DE 10136434	A1	20030213	DE 2001-10136434	20010726
PRIORITY APPLN. INFO.:		DE 2001-10104598 A 20010202 DE 2001-10136434 A 20010726		
OTHER SOURCE(S):		MARPAT 137:169322		
GI				



AB Title compds., e.g., R121NHCOZ2R2 (I; R1 = 3- or 4-pyrrolidinylcarbonyl, 3- or 4-piperidinylcarbonyl, benzoyl, pyridinylcarbonyl, etc.; R2 = Z3R3; R3 = aminocarbonyl or C(=NH)NH2; Z1 = (un)substituted phenylene; Z2 = (un)substituted CH2; Z3 = 1,3-phenylene, 2-hydroxy-1,5-phenylene-, etc.) were prep'd. Thus, tert-Bu 4-amino-2-methylbenzoate was amidated by 5-cyano-2-benzoyloxyphenylacetic acid and the sapon. product amidated by L-prolinamide to give, in 2 addnl. steps, title compd. I-II. Data for biol. activity of title compds. were given.

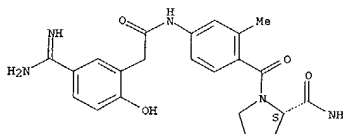
IT 445003-31-6P 445003-53-2P 445003-55-8P

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

445003-68-9P 445003-74-7P 445003-90-7P  
 445004-04-6P 445004-11-5P 445004-13-7P  
 445004-17-1P 445004-19-3P 445004-21-7P  
 445004-33-1P 445005-39-1P 445005-40-4P  
 445005-42-6P 445005-43-7P 445005-44-8P  
 445005-45-9P 445005-46-0P 445005-47-1P  
 445005-48-2P 445005-49-3P 445005-50-6P  
 445005-52-8P 445005-53-9P 445005-55-1P  
 445005-56-2P 445005-57-3P 445005-58-4P  
 445005-59-5P 445005-60-8P 445005-61-9P  
 445005-62-0P 445005-63-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)

RN 445003-31-6 CAPLUS  
 CN 2-Pyrrolidinocarbonyl, 1-[4-[[[5-(aminomethyl)-2-hydroxyphenyl]acetyl]amino]-2-methylbenzoyl]-, monohydrochloride, (2S)-(9CI) (CA INDEX NAME)

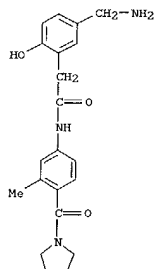
Absolute stereochemistry.



● HCl

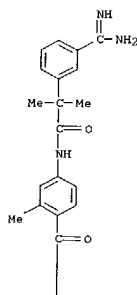
RN 445003-53-2 CAPLUS  
 CN Benzeneacetamide, 5-(aminomethyl)-2-hydroxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 445003-59-8 CAPLUS  
 CN Benzeneacetamide, 3-(aminomethyl)-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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● HCl

RN 445003-74-7 CAPLUS  
 CN Acetic acid, [4-(aminomethyl)-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

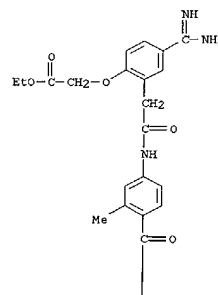
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● HCl

RN 445003-68-9 CAPLUS  
 CN Acetic acid, [4-(aminomethyl)-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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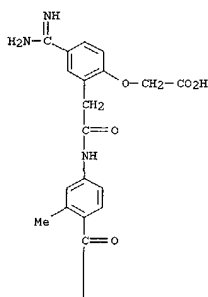


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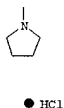


● HCl

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
pyrrolidinylcarbonyl]phenyl]amino]-2-oxoethyl]phenoxy]-, monohydrochloride  
(9CI) (CA INDEX NAME)



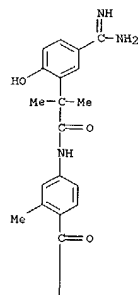
PAGE 1-A



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RN 445003-90-7 CAPLUS  
CN Benzeneacetamide, 5-((aminominoimethyl)-2-hydroxy-.alpha.,.alpha.-dimethyl-N-(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)-2-oxoethyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
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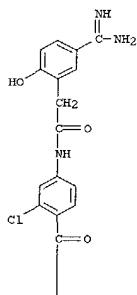


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RN 445004-04-6 CAPLUS  
CN Benzeneacetamide, 5-((aminominoimethyl)-N-(3-chloro-4-(1-pyrrolidinylcarbonyl)phenyl)-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
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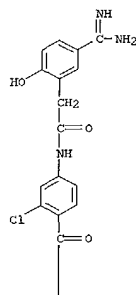


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RN 445004-11-5 CAPLUS  
CN Benzeneacetamide, 5-((aminominoimethyl)-N-(3-chloro-4-(1-pyrrolidinylcarbonyl)phenyl)-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
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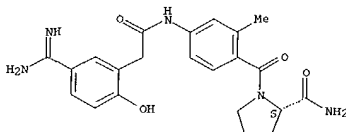


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RN 445004-13-7 CAPLUS  
CN 2-Pyrrolidinecarboxamide, 1-[4-[[[5-((aminominoimethyl)-2-hydroxyphenyl)acetyl]amino]-2-methylbenzoyl]-, (2S)- (9CI) (CA INDEX NAME)

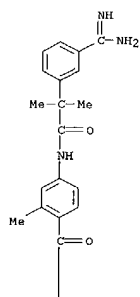
Absolute stereochemistry.



RN 445004-17-1 CAPLUS  
CN Benzeneacetamide, 3-((aminominoimethyl)-.alpha.,.alpha.-dimethyl-N-(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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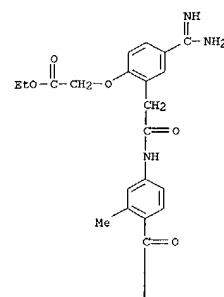
PAGE 2-A



RN 445004-19-3 CAPLUS  
CN Acetic acid, [4-(aminoiminomethyl)-2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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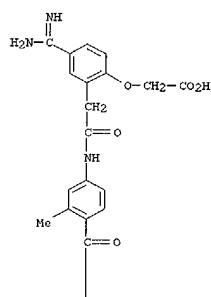
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RN 445004-21-7 CAPLUS  
CN Acetic acid, [4-(aminoiminomethyl)-2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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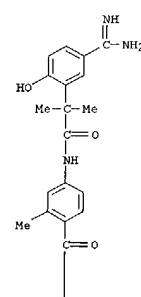
PAGE 2-A



RN 445004-33-1 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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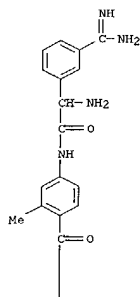


RN 445305-39-1 CAPLUS  
CN Benzeneacetamide, .alpha.-amino-3-(aminoiminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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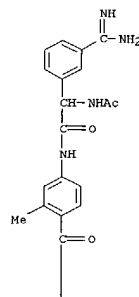
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RN 446305-40-4 CAPLUS  
CN Benzeneacetamide, .alpha.-(acetylaminomethyl)-3-(aminoiminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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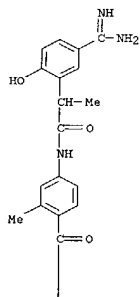
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RN 446305-42-6 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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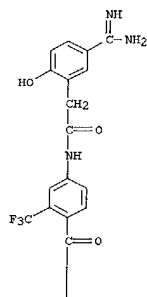
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RN 446305-43-7 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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● HCl

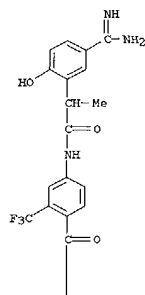
RN 446305-44-8 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.-methyl-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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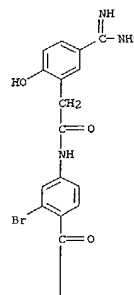
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RN 446305-45-9 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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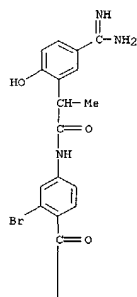
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RN 446305-46-0 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-.alpha.-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

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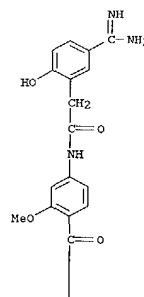
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RN 446305-47-1 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[3-methoxy-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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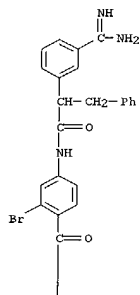


● HCl

RN 446305-48-2 CAPLUS  
CN Benzenepropanamide, .alpha.-[3-(aminoiminomethyl)phenyl]-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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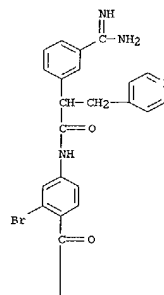


● HCl

RN 446305-49-3 CAPLUS  
CN 4-Pyridinepropanamide, .alpha.-[3-(aminoiminomethyl)phenyl]-N-[[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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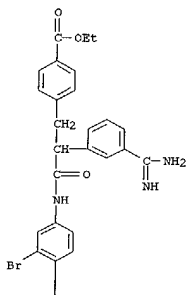


● HCl

RN 446305-50-6 CAPLUS  
CN Benzoic acid, 4-[[3-[[3-(aminoiminomethyl)phenyl]-3-[[[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-3-oxopropyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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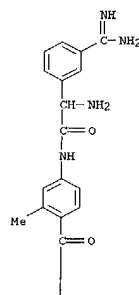


● HCl

RN 446305-52-8 CAPLUS  
CN Benzeneacetamide, .alpha.-amino-3-(aminoiminomethyl)-N-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



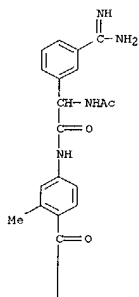
PAGE 2-A



RN 446305-53-9 CAPLUS  
CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)-N-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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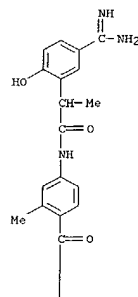
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RN 446305-55-1 CAPLUS  
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L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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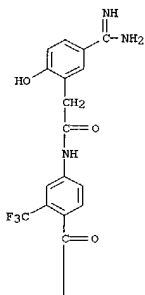
PAGE 2-A



RN 446305-56-2 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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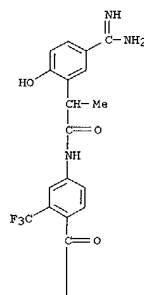
PAGE 2-A



RN 446305-57-3 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.-methyl-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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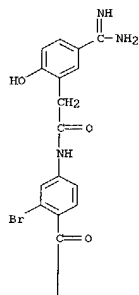
PAGE 2-A



RN 446305-58-4 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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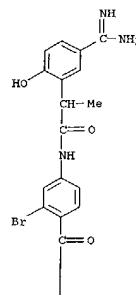
PAGE 2-A



RN 446305-59-5 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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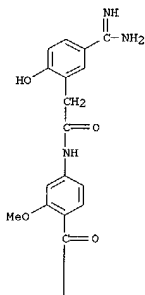
PAGE 2-A



RN 446305-60-8 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[3-methoxy-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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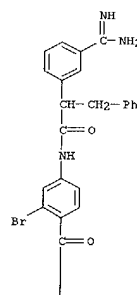
PAGE 2-A



RN 446305-61-9 CAPLUS  
CN Benzenepropanamide, .alpha.-[3-(aminoiminomethyl)phenyl]-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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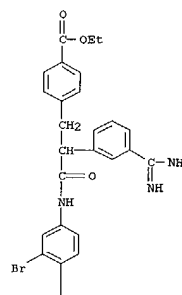


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RN 446305-62-0 CAPLUS  
CN 4-Pyridinepropanamide, .alpha.-[3-(aminoiminomethyl)phenyl]-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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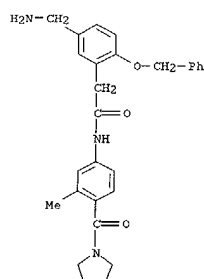


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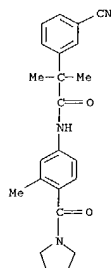
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	446305-79-9P	446305-82-4P	446305-85-7P
	446305-86-8P		
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of N-[4-(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)		
RN	445003-42-9 CAPLUS		
CN	2-Pyrrolidinocarbamide, 1-[4-[[[5-cyano-2-(phenylmethoxy)phenyl]acetyl]a mino]-2-methylbenzoyl-, (2S)- (9CI) (CN INDEX NAME)		
Absolute stereochemistry.			

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



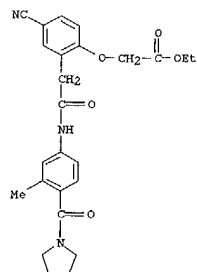
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CN Benzeneacetamide, 3-cyano-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

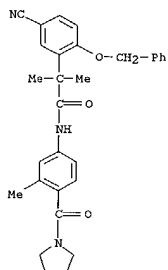


RN 445003-70-3 CAPLUS  
CN Acetic acid, [4-cyano-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

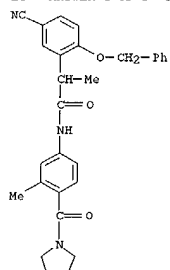


RN 445004-02-4 CAPLUS  
 CN Benzeneacetamide, 5-cyano-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

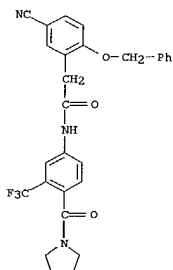


RN 445004-08-0 CAPLUS  
 CN Benzeneacetamide, N-[3-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]-5-cyano-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

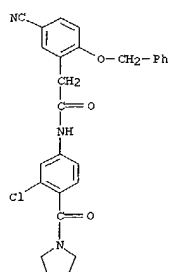


RN 446305-79-9 CAPLUS  
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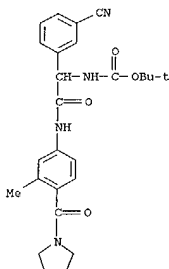


RN 446305-82-4 CAPLUS  
 CN Benzeneacetamide, N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-5-cyano-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

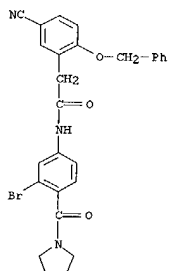


RN 446305-68-6 CAPLUS  
 CN Carbamic acid, [1-(3-cyanophenyl)-2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

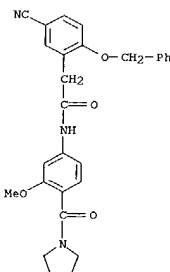


RN 446305-76-6 CAPLUS  
 CN Benzeneacetamide, 5-cyano-.alpha.-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

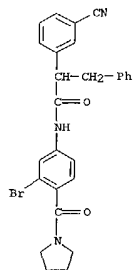


RN 446305-85-7 CAPLUS  
 CN Benzeneacetamide, 5-cyano-N-[3-methoxy-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 446305-86-8 CAPLUS  
 CN Benzeneacetamide, N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-.alpha.- (3-cyanophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

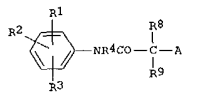
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 DOCUMENT NUMBER: 137:140430  
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 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: Ger. Offen., 22 pp.  
 CODEN: GWXXEX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

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DE 10104598	A1	20020808	DE 2001-10104598	20010202
US 2002151596	A1	20021017	US 2002-51412	20020117
WO 2002062748	A1	20020815	WO 2002-EP827	20020126

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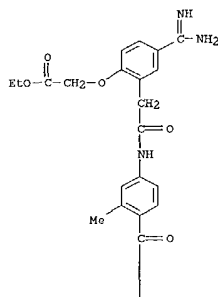
OTHER SOURCE(S): MARPAT 137:140430  
 GI



AB Title compds. [I; R1 = (NH-interrupted) (substituted) C3-7 cycloalkylcarbonyl etc.; R2 = H, F, Cl, Br, fluorinated alkyl, OH, alkoxy; R3 = H, alkyl; R4 = H, CO2H-substituted alkyl; A = substituted Ph, naphthyl; R8, R9 = H, alkyl], and 2-(5-amidino-2-hydroxyphenyl)-N-[3-chloro-4-(pyrrolidin-1-yl)phenyl]acetamide and salts thereof, were prepd. Thus, a mixt. of 3-methyl-4-(pyrrolidin-1-yl)carbonylaniline and Et3N in THF was dropwise treated with 2-(2-benzoyloxy-5-cyanophenyl)-2-methylpropionyl chloride (prepn. given) in THF for 14 h to give 50% 2-(2-benzoyloxy-5-cyanophenyl)-N-[3-methyl-4-(pyrrolidin-1-yl)carbonyl]phenyl]-2,2-dimethylacetamide which was treated with HCl/(NH4)2CO3 and H2/Pd in MeOH to give 77% 2-(5-amidino-2-hydroxyphenyl)-N-[3-methyl-4-(pyrrolidin-1-yl)carbonyl]phenyl]-2,2-dimethylacetamide hydrochloride. Several I inhibited factor Xa with IC50 = 0.028-0.320

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

IT 445003-68-9P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of phenyl(heteroaryl)acetamides as anticoagulants)  
 RN 445003-68-9 CAPLUS  
 CN Acetic acid, [4-(aminoiminomethyl)-2-[[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



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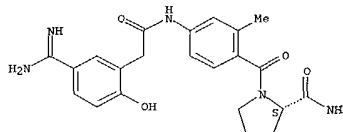
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 (prepn. of phenyl(heteroaryl)acetamides as anticoagulants)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

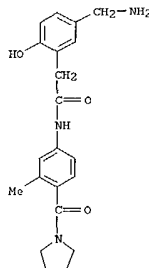
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 CN 2-Pyrrolidinecarboxamide, 1-[4-[[[5-(aminoiminomethyl)-2-hydroxyphenyl]acetyl]amino]-2-methylbenzoyl]-, monohydrochloride, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

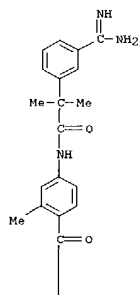
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 CN Benzeneacetamide, S-(aminomethyl)-2-hydroxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 445003-59-9 CAPLUS  
 CN Benzeneacetamide, 3-(aminoiminomethyl)-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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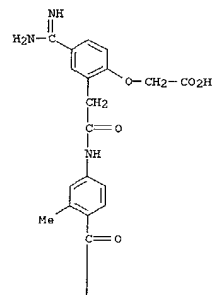


● HCl

RN 445003-74-7 CAPLUS  
CN Acetic acid, [4-(aminoiminomethyl)-2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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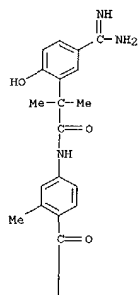


● HCl

RN 445003-90-7 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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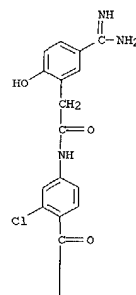


● HCl

RN 445004-04-6 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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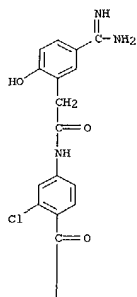
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L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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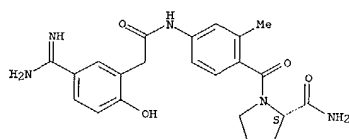


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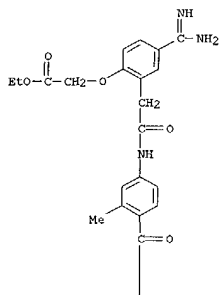
RN 445004-13-7 CAPLUS  
CN 2-Pyrrolidinacetic acid, 1-[4-[[[5-(aminominoethyl)-2-hydroxyphenyl]acetyl]amino]-2-methylbenzoyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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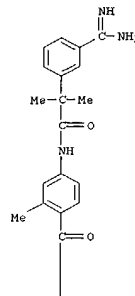
PAGE 2-A



RN 445004-21-7 CAPLUS  
CN Acetic acid, [4-(aminominoethyl)-2-[2-[[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
RN 445004-17-1 CAPLUS  
CN Benzeneacetamide, 3-(aminominoethyl)-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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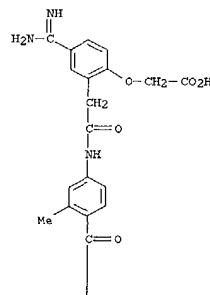
PAGE 2-A



RN 445004-19-3 CAPLUS  
CN Acetic acid, [4-(aminominoethyl)-2-[2-[[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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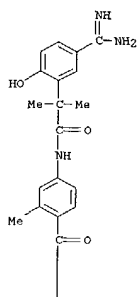


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RN 445004-33-1 CAPLUS  
CN Benzeneacetamide, 5-(aminominoethyl)-2-hydroxy-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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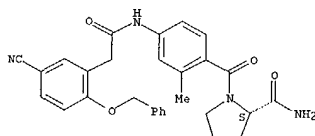
PAGE 2-A



IT	445003-57-6 445003-72-5 RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of phenyl(heterouryl)acetamides as anticoagulants)
RN	445003-57-6 CAPLUS
CN	Benzeneacetamide, 5-cyano-N-(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)-2-(phenylmethoxy) - (9CI) (CA INDEX NAME)

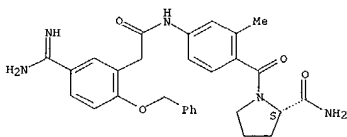
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
RN 445003-42-9 CAPLUS  
CN 2-Pyrrolidinecarboxamide, 1-[4-[[[5-cyano-2-(phenylmethoxy)phenyl]acetyl]a  
mino]-2-methylbenzoyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 445003-44-1 CAPLUS  
CN 2-Pyrrolidinecarboxamide, 1-[4-[[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]acetyl]amino]-2-methylbenzoyl-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

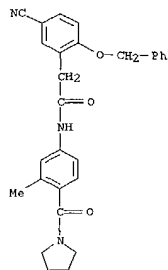
Absolute stereochemistry.



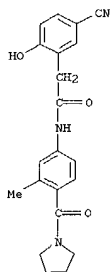
● HCl

RN 445003-55-4 CAPLUS  
CN Benzenacetamide, 5-(aminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

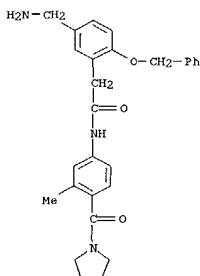


RN 445003-72-5 CAPLUS  
CN Benzenacetamide, 5-cyano-2-hydroxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

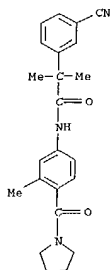


IT 445003-42-9P 445003-44-1P 445003-55-4P  
445003-65-6P 445003-70-3P 445004-02-4P  
445004-08-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of phenyl(heteroaryl)acetamides as anticoagulants)

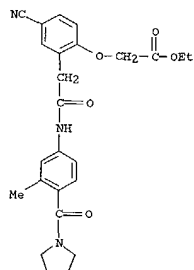
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



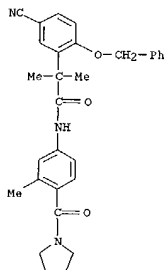
RN 445003-65-6 CAPLUS  
CN Benzeneacetamide, 3-cyano-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 445003-70-3 CAPLUS  
CN Acetic acid, [4-cyano-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



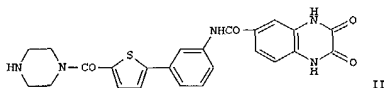
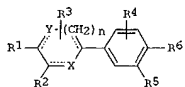
RN 445004-02-4 CAPLUS  
CN Benzeneacetamide, 5-cyano-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 445004-08-0 CAPLUS  
CN Benzeneacetamide, N-[3-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]-5-cyano-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

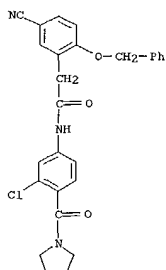
L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2002:1707059 CAPLUS  
DOCUMENT NUMBER: 136:151182  
TITLE: Antimicrobial biaryl compounds  
INVENTOR(S): Jefferson, Elizabeth Ann; Swazye, Eric  
PATENT ASSIGNEE(S): Eisai Pharmaceutical Inc., USA  
SOURCE: PCT Int. Appl., 44 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200209648	A2	20020207	WO 2001A524067	20010801
WO 200209648	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, ML, NL, PT, SE, TR, BF, BJ, CF, CG, CI, FI, GA, GN, GU, HK, IL, IN, NC, NI, NO, NZ, OM, PE, PK, PG, PH, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2001080944	A2	20020207	EP 2001-859380	20010801
EP 1305028	A2	20030502	EP 2001-859380	20010801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY AFFLN. INFO.:			US 2000-630122	A 20000801
			WO 2001-524067	W 20010801
OTHER SOURCE(S):				
MARPAT 1361:51182				
G1				



AB Biaryls I [X = CH, O, S, N, NH; Y = CH, N; n = 0, 1: one of R1 and R2 = (un)substituted CONH2, COOH2, CH2NH2, SO2NH2 and the other is H or R; R3 = H, Me, Et, n-Bu, i-Bu, Ph, CH2Ph and the other is H; R4 = Q = amino acid or peptide residue; R7 = H, halogen, (un)substituted NH2, NHCOR7; R8 = H, halogen, hydroxyl, amino, carbonyl, alkyl, alkenyl, alkynyl; R9 = H, amino, (un)substituted alkyl, alkenyl, alkynyl, 5-6 member carbocyclic or heterocyclic] were prepared for use as antimicrobial agents. Thus, polymer-supported piperazine was acylated with

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

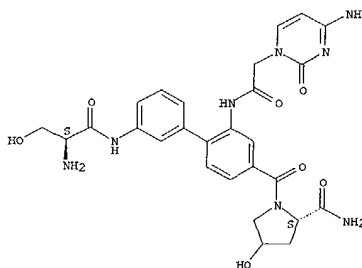


L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)  
5-bromo-2-thiophenecarboxylic acid, coupled with 3-HZNC6H4B(OH)2, and  
acylated with 2,3-dioxobenzopyrazine-6-carboxylic acid to give the biaryl  
II. In a coupled bacterial transcription-translation assay II had an IC50  
of 25 μM.

IT 395647-88-BP 395647-92-AP 395647-95-BP  
RL: SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological  
study); PREP (Preparation); USES (Uses)  
C (Group of acylaminocarboxamides as bactericides)

RN 395647-88-F  
CD (2H)-Pyrimidineacetamide, 4-amino-N-[4-(1,2,3,4-tetrahydro-  
1H-pyridin-2-yl)-2-methylphenyl]-1H-imidazo[4,5-b]pyridine-5-carboxylic  
acid; C(=O)CC1=CNC(C)=CN1C(=O)c2cc(ccc2C3=CC=CC=C3C4=CC=CC=C4N4)C

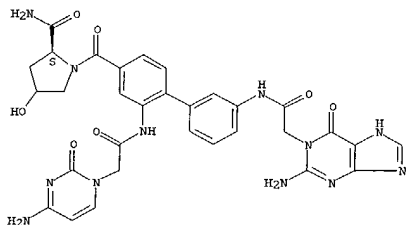
Absolute stereochemistry.



HN	395647-92-4	CAPLUS
CN	1H-Purine-1-acetamide, 2-amino-N-[4-{[(2S)-2-(aminocarbonyl)-4-hydroxy-1-pyrrolidinyl]carbonyl}-2'-{[(4-amino-2-oxo-1(2H)-pyrimidinyl)acetyl]amino}[1,1'-biphenyl]-3-yl]-6,7-dihydro-6-oxo- (9CI) (CA INDEX NAME)	

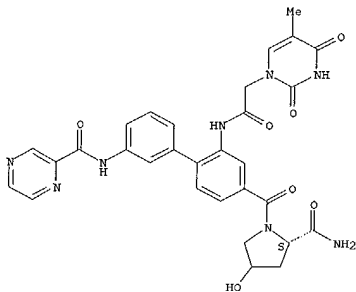
Absolute stereochemistry.

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS on SIN (Continued)



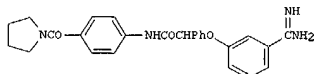
RN 395647-96-8 CAPLUS  
 CN Pyrazinecarboxamide, N-[4'-[[[(2S)-2-(aminocarbonyl)-4-hydroxy-1-pyrrolidinyl]carbonyl]-2'-[[[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]amino][1,1'-biphenyl]-3-yl]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on SIN

ACCESSION NUMBER: 2001:628986 CAPLUS  
 DOCUMENT NUMBER: 135:371261  
 TITLE: Design and synthesis of glycolic and mandelic acid derivatives as factor Xa inhibitors  
 AUTHOR(S): Su, T.; Wu, Y.; Doughan, B.; Kane-Maguire, K.; Marlowe, C. K.; Kanter, J. P.; Woolfrey, J.; Huang, B.; Wong, P.; Sinha, U.; Park, G.; Malinowski, J.; Hollenbach, S.; Scarborough, R. M.; Zhu, B.-Y.  
 CORPORATE SOURCE: COR Therapeutics, Inc., South San Francisco, CA, 94080, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(17), 2279-2282  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



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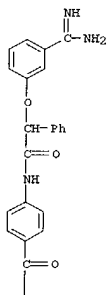
AB A series of glycolic and mandelic acid derivs. was synthesized and investigated for their factor Xa inhibitory activity. These analogs are highly potent and selective inhibitors against fXa. In a rabbit deep vein thrombosis model, compd. I showed significant antithrombotic effects (81% inhibition of thrombus formation) at 1.1 .mu.M plasma concn. following i.v. administration.

IT 308288-70-2P 308288-82-6P  
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

RN 308288-70-2 CAPLUS  
 CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on SIN (Continued)

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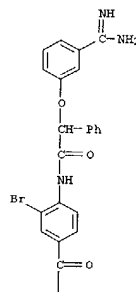
PAGE 2-A



RN 308288-82-6 CAPLUS  
 CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[2-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on SIN (Continued)

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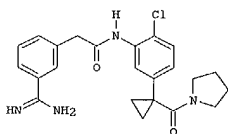
PAGE 2-A



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2001:115108 CAPLUS  
 DOCUMENT NUMBER: 134:162832  
 TITLE: Preparation of amidinobenzamides and analogs as factor Xa inhibitors  
 INVENTOR(S): Ries, Uwe; Pripke, Henning; Heckel, Armin; Nar, Herbert; Wiene, Wolfgang; Staassen, Jean Marie  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: PCT Int. Appl., 80 pp.  
 CODEN: PDXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

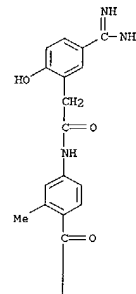
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WO 2001010823	A1	20010215	WO 2000-EP7457	20000802
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GM, GW, HL, HR, KE, SN, TD, TG				
DE 19937494	A1	20010208	DE 1999-19937494	19990807
DE 10025663	A1	20011129	DE 2000-10025663	20000524
EP 1206446	A1	20020522	EP 2000-956375	20000802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506432	T2	20030218	JP 2001-515290	20000802
PRIORITY APPLN. INFO.: DE 1999-19937494 A 19990807				
DE 2000-10025663 A 20000524				
WO 2000-EP7457 W 20000802				
OTHER SOURCE(S): MARPAT 134:162832				
GI				



AB R12(CH2)mNR4CO(CH2)nZ1R5 [I; R1 = (un)substituted alkyl, -amino, cycloalkyl, -amino, alkoxyalkyl, etc.; R4 = H or (carboxy)alkyl; R5 =

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
 cyano or (alkyl)amido; Z = (un)substituted (hetero)arylene; Z1 = (un)substituted phenylene; I of m, n = 0 and the other = 1] were prep. Thus, 1-(4-chlorophenyl)cyclopropanecarboxylic acid was converted in 5 steps to title compd. II. Data for biol. activity of I were given.

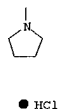
IT 325125-04-OP 325125-09-5P 325125-10-8P  
 325125-12-OP 325125-23-3P 325125-30-2P  
 325125-31-3P 325125-60-8P 325125-61-9P  
 325125-62-OP 325125-63-1P 325125-74-8P  
 325125-79-3P 325125-88-4P 325125-89-5P  
 325125-90-8P 325125-91-9P 325125-92-0P  
 325125-94-2P 325125-96-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of amidinobenzamides and analogs as factor Xa inhibitors)  
 RN 325125-04-0 CAPLUS  
 CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



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L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

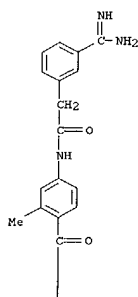
PAGE 2-A



● HCl

RN 325125-09-5 CAPLUS  
 CN Benzeneacetamide, 3-(aminoiminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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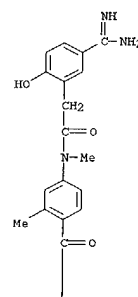


● HCl

RN 325125-10-8 CAPLUS  
 CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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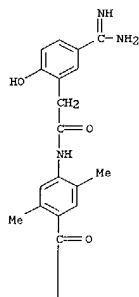


● HCl

RN 325125-12-0 CAPLUS  
 CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[2,5-dimethyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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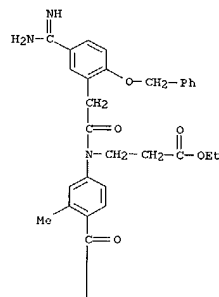


● HCl

RN 325125-23-3 CAPLUS  
CN .beta.-Alanine, N-[[5-[(aminoininomethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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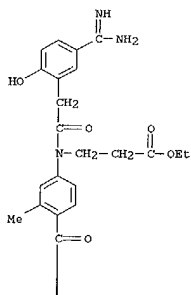


● HCl

RN 325125-30-2 CAPLUS  
CN .beta.-Alanine, N-[[5-[(aminoininomethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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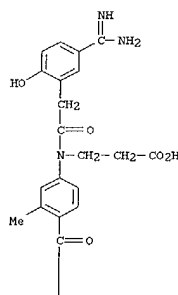


● HCl

RN 325125-31-3 CAPLUS  
CN .beta.-Alanine, N-[[5-[(aminoininomethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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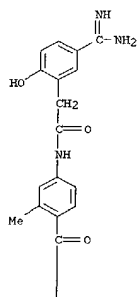


● HCl

RN 325125-60-8 CAPLUS  
CN Benzeneacetamide, 5-[(aminoininomethyl)-2-(phenylmethoxy)phenyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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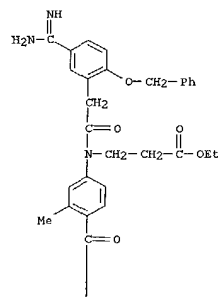
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RN 325125-61-9 CAPLUS  
CN .beta.-Alanine, N-[[5-(aminiminomethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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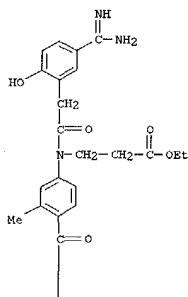
PAGE 2-A



RN 325125-62-0 CAPLUS  
CN .beta.-Alanine, N-[[5-(aminiminomethyl)-2-hydroxyphenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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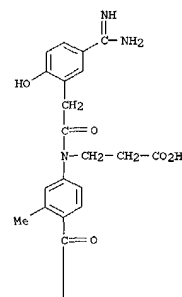
PAGE 2-A



RN 325125-63-1 CAPLUS  
CN .beta.-Alanine, N-[[5-(aminiminomethyl)-2-hydroxyphenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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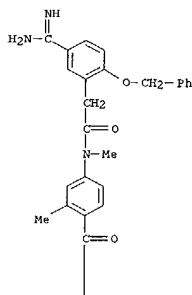


RN 325797-74-8 CAPLUS  
CN Benzeneacetamide, 5-(aminiminomethyl)-N-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

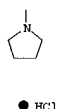
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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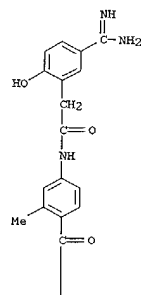
● HCl

RN 325797-79-3 CAPLUS  
CN Benzeneacetamide, 5-[(benzoylamino)iminomethyl]-2-hydroxy-N-[3-methyl-4-(2-methyl-1-pyrrolidinyl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

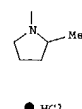
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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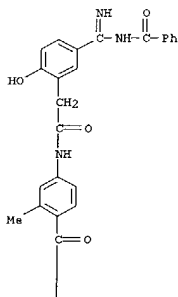
● HCl

RN 325797-88-4 CAPLUS  
CN Benzeneacetamide, 5-[(benzoylamino)iminomethyl]-2-hydroxy-N-[3-methyl-4-(1-pyrrolidinyl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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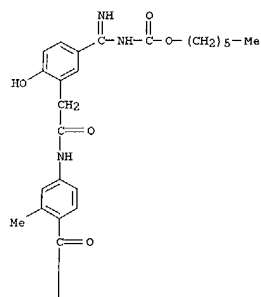


RN 325797-89-5 CAPLUS  
CN Carbamic acid, [[4-hydroxy-3-[2-[(3-methyl-4-(1-pyrrolidinyl)carbonyl]phenyl]amino]-2-oxoethyl]phenyl]iminomethyl]-, hexyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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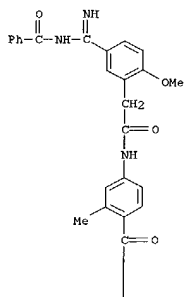


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CN Benzeneacetamide, 5-[(benzoylamino)iminomethyl]-2-methoxy-N-[3-methyl-4-(1-pyrrolidinyl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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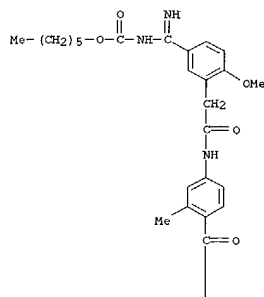
PAGE 2-A



RN 325797-91-9 CAPLUS  
CN Carbamic acid, [imino[4-methoxy-3-[2-[[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenyl]methyl]-, hexyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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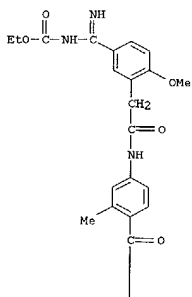
PAGE 2-A



RN 325797-92-0 CAPLUS  
CN Carbamic acid, [imino[4-methoxy-3-[2-[[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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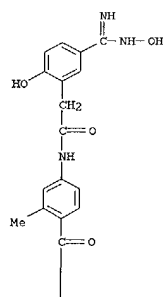
PAGE 2-A



RN 325797-94-2 CAPLUS  
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CMF C21 H24 N4 O4

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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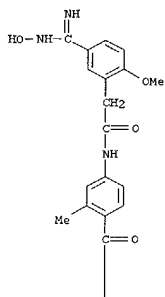
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RN 325797-96-4 CAPLUS  
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CM 1  
CRN 325797-95-3  
CMF C22 H26 N4 O4

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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CM 2

CRN 64-19-7  
CMF C2 H4 O2



IT 325125-05-1P 325125-08-4P 325125-18-6P  
325125-21-1P 325125-27-7P 325798-02-5P  
325798-04-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of amidinobenzamides and analogs as factor Xa inhibitors)  
RN 325125-05-1 CAPLUS

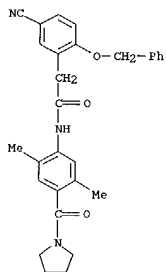
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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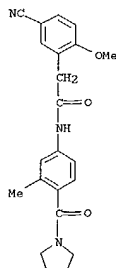
• HCl

RN 325125-18-6 CAPLUS  
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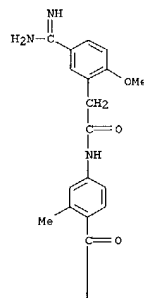
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L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
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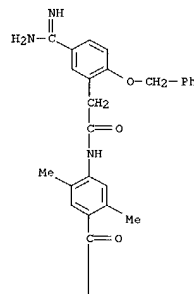
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CN Benzeneacetamide, 5-(aminomethyl)-2-methoxy-N-[(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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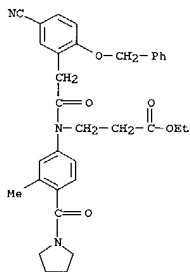


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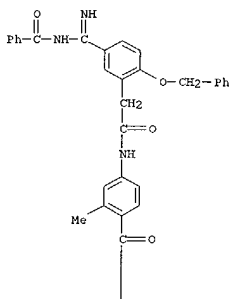
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CN .beta.-Alanine, N-[[5-cyano-2-(phenylmethoxy)phenyl]acetyl]-N-[(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 325798-02-5 CAPLUS  
 CN Benzeneacetamide, 5-[(benzoylamino)iminomethyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

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L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2  
 CRN 64-19-7  
 CMP C2 H4 O2



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

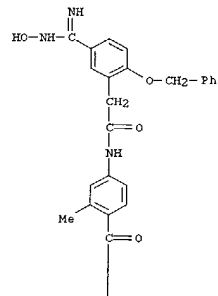
PAGE 2-A



RN 325798-04-7 CAPLUS  
 CN Benzeneacetamide, 5-[(hydroxyamino)iminomethyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1  
 CRN 325798-03-6  
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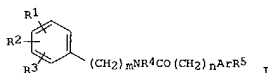


L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:93917 CAPLUS  
 DOCUMENT NUMBER: 134:162914  
 TITLE: Preparation of (amidinophenyl)-N-(pyrrolidinylcarbonyl)cyclopropylphenylacetamides and benzamides as Factor Xa inhibitors.  
 INVENTOR(S): Riez, Uwe; Priespka, Henning; Heckel, Armin; Nar, Herbert; Wienen, Wolfgang; Stassen, Jean Marie  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: Ger. Offen., 22 pp.  
 CODEN: GWXXRX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

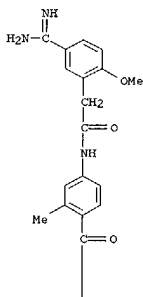
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001010823	A1	20010215	WO 2000-EP7457	20000802
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GN, GW, HL, HR, NE, NI, SN, TD, TG				
EP 1206445	A1	20020522	EP 2000-956375	20000802
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JP 2003506432	T2	20030218	JP 2001-515290	20000802
PRIORITY APPLN. INFO.: DE 1999-19937494 A 19990807 DE 2000-10025663 A 20000524 WO 2000-EP7457 W 20000802				

OTHER SOURCE(S): CASREACT 134:162914; MARPAT 134:162914  
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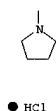


AB Title compds. [I; Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrazinylene, etc.; R1 = H, (substituted) alkyl, 1-(cycloalkyliminocarbonyl)cycloalkyl, (substituted) Ph, etc.; R2 = H, halo, OH, alkyl, alkoxy; R3 = H, alkyl; R4 = H, alkyl, carboxyalkyl; R5 = cyano, (alkyl-substituted) amidino, etc.; m, n = 0-1] were prepd. as antithrombotics. Thus, 5-cyano-2-methoxyphenylacetic acid (prepn. given) in DMF was stirred with N,N-carboxylbisimidazole for 10 min. and after addn. of 5-(pyrrolidin-1-ylcarbonyl)-2-methylaniline the reaction mixt. was stirred 4 h at 80.degree. to give 2-(5-cyano-methoxyphenyl)-N-[2-methyl-5-(1-pyrrolidin-1-carbonyl)cyclopropylphenyl]acetamide. The latter in CH2Cl2 was treated dropwise with Et3N at -35.degree. to 25.degree. to give the 2-(2-hydroxyphenyl) deriv., which was stirred with HCl and (NH4)2CO3 to give 80% 2-(5-amidino-2-hydroxyphenyl)-N-[2-methyl-5-(1-

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
pyrrolidin-1-carbonyl)cyclopropylphenylacetamide hydrochloride. Tested I  
inhibited Factor Xa with  $IC_{50} = 0.03-0.85 \mu M$ .  
IT 325125-08-4P 325125-23-3P 325125-30-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT  
(Reactant or reagent); USES (Uses)  
(prepn. of (amidinophenyl)-N-(pyrrolidinylcarbonyl)cyclopropylphenyl)ace  
tamides and -benzamides as antithrombotics)  
RN 325125-08-4 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-methoxy-N-[3-methyl-4-(1-  
pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



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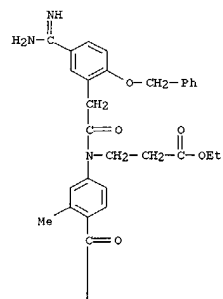


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● HCl

RN 325125-23-3 CAPLUS  
CN .beta.-Alanine, N-[[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester,  
monohydrochloride (9CI) (CA INDEX NAME)



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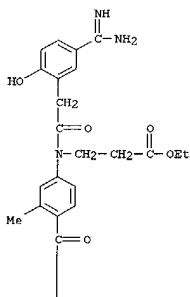
PAGE 2-A

● HCl

RN 325125-30-2 CAPLUS  
CN .beta.-Alanine, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]acetyl]-N-[3-  
methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester, monohydrochloride  
(9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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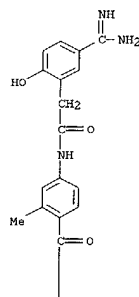


● HCl

IT 325125-04-0P 325125-09-5P 325125-10-8P  
325125-11-9P 325125-12-0P 325125-31-3P  
325125-60-8P 325125-61-9P 325125-62-0P  
325125-63-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of (amidinophenyl)-N-(pyrrolidinylcarbonyl)cyclopropylphenyl)ace  
tamides and -benzamides as antithrombotics)  
RN 325125-04-0 CAPLUS  
CN Benzeneacetamide, 3-(aminoiminomethyl)-2-hydroxy-N-[3-methyl-4-(1-  
pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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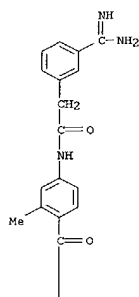


● HCl

RN 325125-09-5 CAPLUS  
CN Benzeneacetamide, 3-(aminoiminomethyl)-N-[3-methyl-4-(1-  
pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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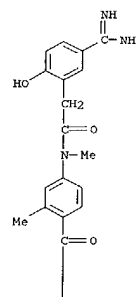


● HCl

RN 325125-10-8 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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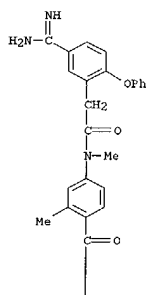


● HCl

RN 325125-11-9 CAPLUS  
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L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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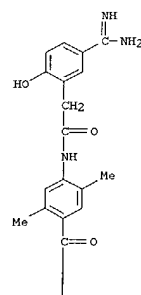


● HCl

RN 325125-12-0 CAPLUS  
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[2,5-dimethyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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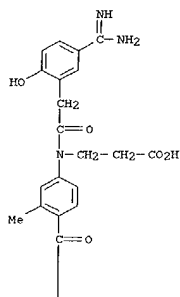


● HCl

RN 325125-31-3 CAPLUS  
CN .beta.-Alanine, N-[(5-(aminoiminomethyl)-2-hydroxyphenyl)acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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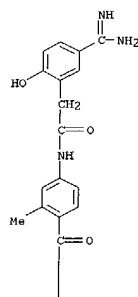


• HCl

RN 325125-60-8 CAPLUS  
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L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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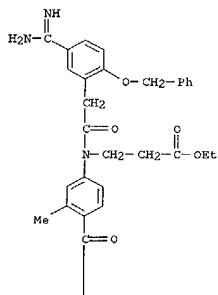
PAGE 2-A



RN 325125-61-9 CAPLUS  
CN .beta.-Alanine, N-[[5-[(aminocinomethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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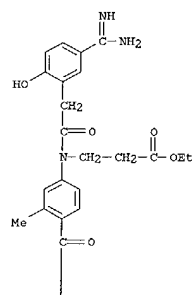
PAGE 2-A



RN 325125-62-0 CAPLUS  
CN .beta.-Alanine, N-[[5-[(aminocinomethyl)-2-hydroxyphenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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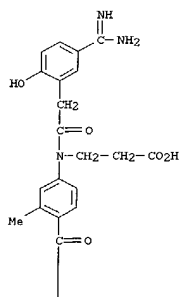
PAGE 2-A



RN 325125-63-1 CAPLUS  
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L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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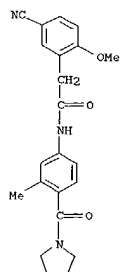


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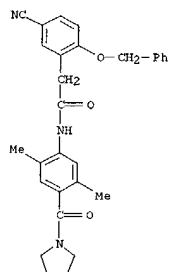


IT 325125-05-1P 325125-18-6P 325125-21-1P  
 325125-27-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of (amidinophenyl)-N-(pyrrolidinylcarbonylcyclopropylphenyl)acetamides and -benzamides as antithrombotics)  
 RN 325125-05-1 CAPLUS  
 CN Benzeneacetamide, 5-cyano-2-methoxy-N-(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



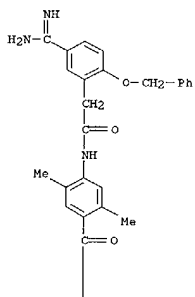
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RN 325125-21-1 CAPLUS  
 CN Benzeneacetamide, 5-(aminoiminomethyl)-N-(2,5-dimethyl-4-(1-pyrrolidinylcarbonyl)phenyl)-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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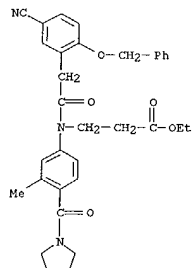


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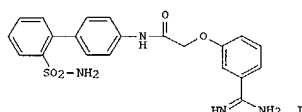
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 CN .beta.-Alanine, N-[(5-cyano-2-(phenylmethoxy)phenyl)acetyl]-N-(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2000:842106 CAPLUS  
 DOCUMENT NUMBER: 134:29205  
 TITLE: Preparation of benzamides and arylamides as  
 inhibitors of factor Xa  
 INVENTOR(S): Su, Ting; Zhu, Bing-Yan; Kane-Maguire, Kim;  
 Scarborough, Robert M.; Zhang, Fenglie  
 PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 144 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071510	A2	20001130	WO 2000-US14195	20000524
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OTHER SOURCE(S): MARPAT 134:29205 GI				



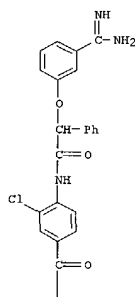
AB AYDEGJZL [wherein A = (cyclo)alkyl, NR2R3, C(:NR2)NR2R3, C(:NR2)R3, NR3C(:NR2)NR2NR3, (un)substituted Ph, naphthyl, or heterocyclic ring; R2 and R3 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkylcycloalkyl, or (un)substituted alkylphenyl or alkylphenyl; Y = bond, bivalent alkyl, alkenyl, or alkynyl, CH2, CO, C(:NR4), NR4, NR4CH2, CH2NR4, CONR4, NR4CO, SO2, O, SO2NR4, or NR4SO2; R4 = H, alkyl, alkenyl, alkynyl, or (un)substituted alkylaryl or alkylheterocyclyl; D = (un)substituted Ph, naphthyl, or heterocyclic ring; E = NR5CO, CONR5, NR5, or NR5(CH2)0-2; R5

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
 = H, alkyl, alkyl(hetero)aryl, or (un)substituted carboxyalkyl or carboxamidoalkyl; G = (un)substituted methylene or ethylene; J = O, OCHR11, S, SCHR11, S(O), SO2, S(O)CHR11, SO2CHR11; R11 = H, alkyl, or (un)substituted alkyl(hetero)aryl; Z = (un)substituted Ph, naphthyl, or heterocyclic ring; L = H, CN, CONR12NR13, (CH2)0-2NR12R13, C(:NR12)NR12R13, NR12R13, OR12, NR12C(:NR12)NR12NR13, or NR12C(:NR12)R13; R12 and R13 = independently H, OR14, NR14R15, alkyl, (un)substituted alkylphenyl, alkylphenyl, or carboxyalkyl; R14 and R15 = independently H, alkyl, (un)substituted alkyl(hetero)aryl, or together with the attached N forms a heterocyclic ring] were prepd. as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, 2-(3-cyanophenoxy)acetic acid was coupled with ([2-(4-aminophenyl)phenyl]sulfonyl)(tert-butyl)amine in the presence of BOP in DMF to give the acetamide intermediate. Treatment with NHEt3·HCl and TEA in EtOH, followed by addn. of AcOH, redn. using Pd/C in MeOH, and deprotection with TFA afforded the benzamide (I). Comps. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).  
 IT 489427-81-8 489427-84-1 489427-85-2  
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 489428-92-4 489428-93-5 489428-94-6  
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 489448-65-9 489448-66-0 489448-67-1  
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 308288-83-7P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use) (prepn. of benzamide and arylamide factor Xa inhibitors by amidation of cyanoaryl-substituted carboxylic acids with amines and subsequent conversion of nitriles to amides)  
 RN 489427-81-8 CAPLUS  
 CN Benzeneacetamide, .alpha.-[3-(aminomethyl)phenoxy]-N-[2-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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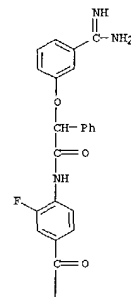
PAGE 2-A



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L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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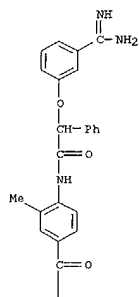


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L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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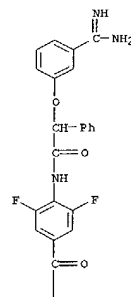
PAGE 2-A



RN 489427-86-3 CAPLUS  
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L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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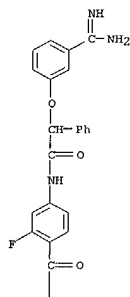
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RN 489427-87-4 CAPLUS  
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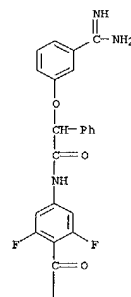
PAGE 2-A



RN 489427-88-5 CAPLUS  
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L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

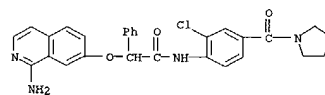
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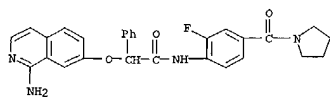


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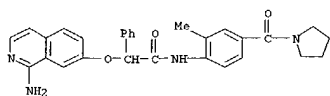


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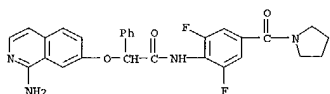
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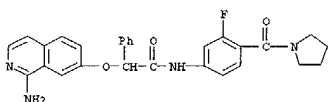
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RN 489428-95-7 CAPLUS  
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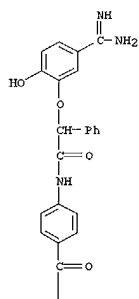
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RN 489428-97-9 CAPLUS  
 CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3,5-difluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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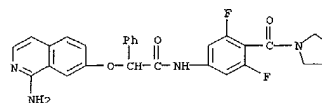


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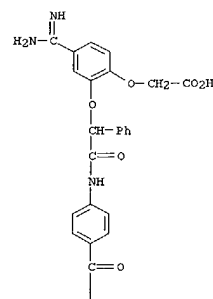
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L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 489436-62-6 CAPLUS  
 CN Acetic acid, [4-(aminoiminomethyl)-2-[2-oxo-1-phenyl-2-[[4-(1-pyrrolidinylcarbonyl)phenyl]amino]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

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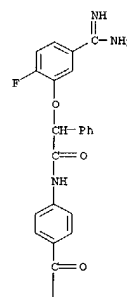
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RN 489436-66-0 CAPLUS  
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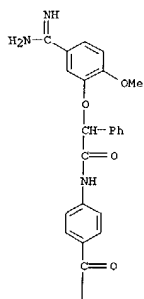
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RN 489436-72-8 CAPLUS  
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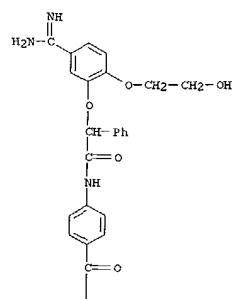
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RN 489437-00-5 CAPLUS  
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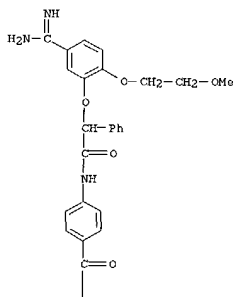
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RN 489437-41-4 CAPLUS  
CN Benzenesacetamide, .alpha.-[5-(aminoiminomethyl)-2-(2-methoxyethoxy)phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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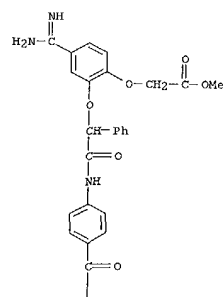
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RN 489437-89-0 CAPLUS  
CN Acetic acid, [4-(aminoiminomethyl)-2-[2-oxo-1-phenyl-2-[[4-(1-pyrrolidinylcarbonyl)phenyl]amino]ethoxy]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

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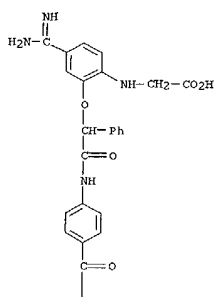


RN 489437-90-3 CAPLUS  
CN Glycine, N-[4-(aminoiminomethyl)-2-[2-oxo-1-phenyl-2-[[4-(1-pyrrolidinylcarbonyl)phenyl]amino]ethoxy]phenyl]- (9CI) (CA INDEX NAME)

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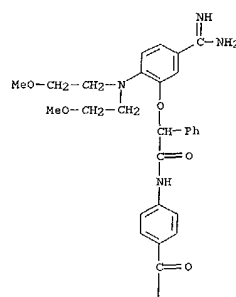


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CN Benzeneacetamide, .alpha.-[5-(aminoiminomethyl)-2-[(2-methoxyethyl)amino]phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI)  
(CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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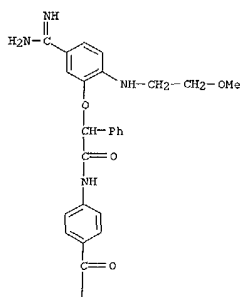


RN 489437-92-5 CAPLUS  
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(CA INDEX NAME)

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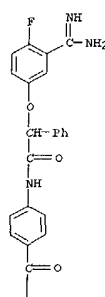


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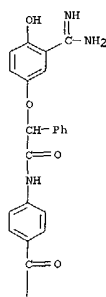
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RN 489438-03-1 CAPLUS  
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L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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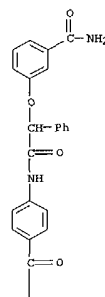
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RN 489438-04-2 CAPLUS  
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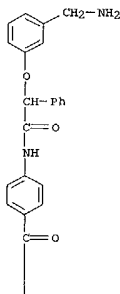
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RN 489438-05-3 CAPLUS  
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L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

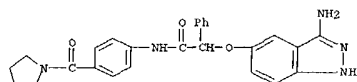
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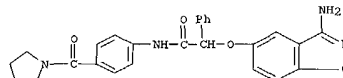


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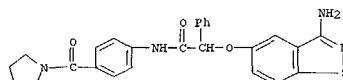


RN 489438-08-6 CAPLUS  
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L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

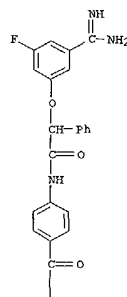


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RN 489438-13-3 CAPLUS  
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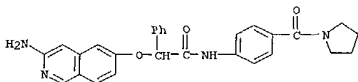


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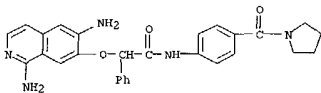
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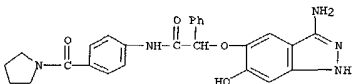
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RN 489438-63-3 CAPLUS  
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RN 489438-65-5 CAPLUS  
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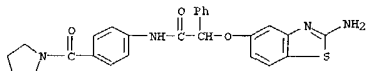


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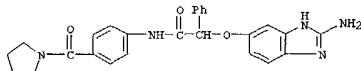
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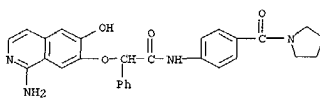
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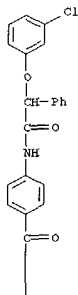
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RN 489439-00-1 CAPLUS  
CN Benzeneacetamide, .alpha.-[ (3-chlorophenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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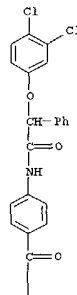
PAGE 2-A



RN 489439-01-2 CAPLUS  
CN Benzeneacetamide, .alpha.-[ (3,4-dichlorophenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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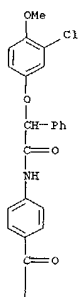
PAGE 2-A



RN 489439-02-3 CAPLUS  
CN Benzeneacetamide, .alpha.-[ (3-chloro-4-methoxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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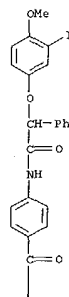
PAGE 2-A



RN 489439-50-1 CAPLUS  
CN Benzeneacetamide, .alpha.-(3-fluoro-4-methoxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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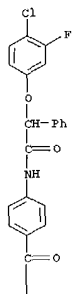
PAGE 2-A



RN 489439-79-4 CAPLUS  
CN Benzeneacetamide, .alpha.-(4-chloro-3-fluorophenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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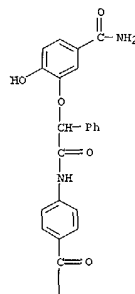
PAGE 2-A



RN 489439-80-7 CAPLUS  
CN Benzeneacetamide, .alpha.-(5-(aminocarbonyl)-2-hydroxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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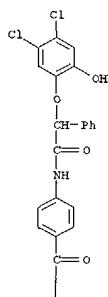
PAGE 2-A



RN 489439-81-8 CAPLUS  
CN Benzeneacetamide, .alpha.-(4,5-dichloro-2-hydroxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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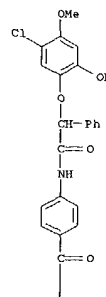
PAGE 2-A



RN 489439-82-9 CAPLUS  
CN Benzenesacetamide, .alpha.-(5-chloro-2-hydroxy-4-methoxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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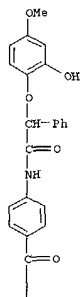
PAGE 2-A



RN 489439-87-4 CAPLUS  
CN Benzenesacetamide, .alpha.-(2-hydroxy-4-methoxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

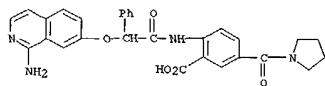
PAGE 1-A



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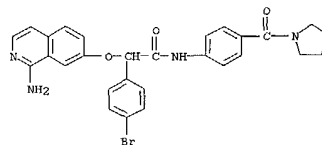


RN 489448-49-9 CAPLUS  
CN Benzoic acid, 2-[[[(1-amino-7-isoquinolinyl)oxy]phenylacetyl]amino]-5-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

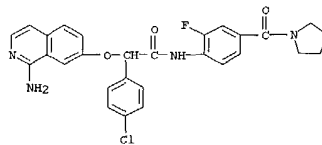


RN 489448-64-8 CAPLUS  
CN Benzenesacetamide, .alpha.-(1-amino-7-isoquinolinyl)oxy]-4-bromo-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

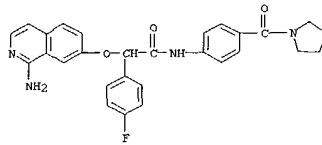
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 489448-65-9 CAPLUS  
CN Benzenesacetamide, .alpha.-(1-amino-7-isoquinolinyl)oxy]-4-chloro-N-[2-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



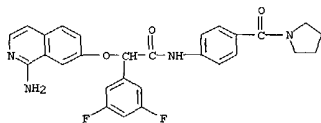
RN 489448-66-0 CAPLUS  
CN Benzenesacetamide, .alpha.-(1-amino-7-isoquinolinyl)oxy]-4-fluoro-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 489448-67-1 CAPLUS  
CN Benzenesacetamide, .alpha.-(1-amino-7-isoquinolinyl)oxy]-3,5-difluoro-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

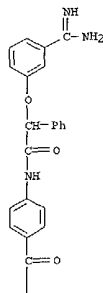


L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308288-70-2 CAPLUS  
 CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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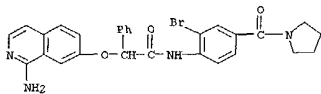


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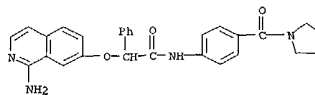


RN 308288-72-4 CAPLUS  
 CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

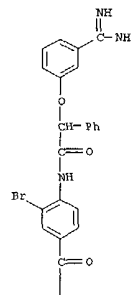


L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308288-82-6 CAPLUS  
 CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[2-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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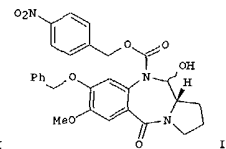
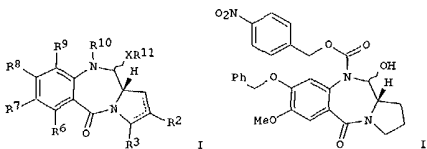


RN 308288-83-7 CAPLUS  
 CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:161283 CAPLUS  
 DOCUMENT NUMBER: 132:207703  
 TITLE: Preparation of pyrrolbenzodiazepines (PBDs) as antitumor antibiotics  
 INVENTOR(S): Thurston, David Edwin; Howard, Philip Wilson  
 PATENT ASSIGNEE(S): The University of Portsmouth Higher Education Corporation, UK  
 SOURCE: PCT Int. Appl., 101 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012507	A2	20000309	WO 1999-GB2837	19990827
WO 2000012507	A3	20000831		
W: AE, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, SV, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, A2, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341968	AA	20000309	CA 1999-2341968	19990827
AU 9955261	A1	20000321	AU 1999-55261	19990827
AU 758398	B2	20030320		
EP 1109811	A2	20010627	EP 1999-941766	19990827
EP 1109811	B1	20030806		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525284	T2	20020813	JP 2000-571053	19990827
US 6562806	B1	20030513	US 2001-763814	20010226
PRIORITY APPLN. INFO.: GB 1998-18731 A 19980827				
WO 1999-GB2837 W 19990827				
OTHER SOURCE(S): MARPAT 132:207703				
GI				



AB 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one derivs. (I) [wherein R = (un)substituted (ar)alkyl, etc.; R2 and R3 = independently H, R, OH, OR,

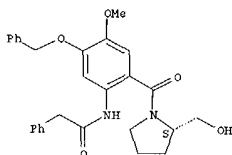
L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)  
 =O, =CH-R, =CH<sub>2</sub>-CO<sub>2</sub>R, CH<sub>2</sub>-CO<sub>2</sub>H, CH<sub>2</sub>-SO<sub>2</sub>R, O-SO<sub>2</sub>-R, CO<sub>2</sub>R, COR, or CN;  
 R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, and R<sub>9</sub> = independently H, R, OH, OR, halo, NH<sub>2</sub>, NO<sub>2</sub>, or Me<sub>3</sub>Sn;  
 or R<sub>7</sub> and R<sub>8</sub> together form a -O-(CH<sub>2</sub>)<sub>p</sub>-O- group, where p = 1 or 2; or the  
 compd. is a dimer with each monomer being the same or different and being  
 of formula I and the R<sub>8</sub> groups of the monomers form a -T-R'-T- bridge,  
 where R' is an alkylene chain which may contain -gtoresq. 1 heteroatoms  
 and/or arom. rings and/or carbon-carbon double or triple bonds, and each T  
 = independently O, S, or N; R<sub>10</sub> = a therapeutically removable N-protecting  
 group; R<sub>11</sub> = H or R; X is S, O, or NH were prepd. for the treatment of  
 cancer and other site-specific diseases where a local increase of toxicity  
 is beneficial to the patient. Examples include the syntheses of benzyl  
 DC-81, benzyl tomasmycin, and DS<sub>8</sub>-120 prodrugs starting from  
 2-nitrobenzoic acid derivs. and pyrrolidines. Data from enzyme and light  
 activation studies and cytotoxicity assays are also given. For example,  
 the nitroreductase-activated benzyl DC-81 (II) was formed in a 6-step  
 sequence involving: (1) benzylation of vanillic acid (67%); (2) ring  
 nitration (92%); (3) amidation with (2S)-pyrrolidinemethanol (88%); (4)  
 reduct. of the nitro group (81%); (5) N-addn. of 4-nitrobenzyl  
 chloroformate; and (6) cyclization using Swern oxidn. conditions (31%).  
 In the presence of nitroreductase and the NADH co-factor, II demonstrated  
 antitumor activity (IC<sub>50</sub> = 1-5 .mu.M) against the SW1116 and LS174T human  
 adenocarcinoma colonic cell lines. II proved non-toxic in SW1116 cells at  
 concns. > 1000 .mu.M and showed slight toxicity in LS174T cells at  
 concns. > 100 .mu.M. I may also be suitable for treating bacterial,  
 parasitic, or viral infections by exploiting a unique enzyme produced at  
 the site of infection which is not natural to the host, or by exploiting  
 an elevation in the amt. of an enzyme which does occur naturally in the  
 host.

IT 260391-52-4P 260391-68-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (intermediate; prepn. of pyrrolbenzodiazepinone prodrugs from  
 2-nitrobenzoic acid derivs. and pyrrolidines for the treatment of  
 cancer)

RN 260391-52-4 CAPLUS

CN Benzenesacetamide, N-[2-[[[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]carbonyl]-4-  
 methoxy-5-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

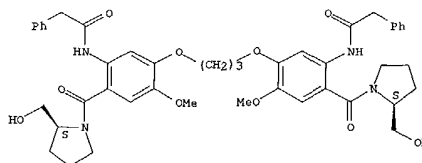


RN 260391-68-2 CAPLUS

CN Benzenesacetamide, N,N'-[1,3-propanediylbis[oxy[6-[[[(2S)-2-(hydroxymethyl)-

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)  
 1-pyrrolidinyl]carbonyl]-4-methoxy-3,1-phenylene]]bis- (9CI) (CA INDEX  
 NAME)

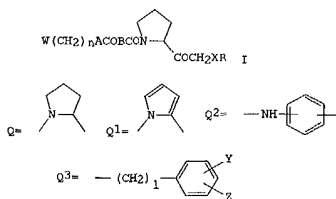
Absolute stereochemistry.



L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS ON STN  
 ACCESSION NUMBER: 1994:164907 CAPLUS  
 DOCUMENT NUMBER: 120:164907  
 TITLE: Preparation of proline containing peptide analogs as  
 specific inhibitors of prolyl endopeptidase  
 Kabayashi, Koji; Nishii, Kazuhiko; Iwata, Kunio;  
 Uchida, Tetsuo  
 PATENT ASSIGNEE(S): Nippon Tobacco Sangyo, Japan; Yoshitomi Pharmaceutical  
 SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.  
 CODEN: JKKXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05201970	A2	19930810	JP 1992-50136	19920124
PRIORITY APPLN. INFO.:			JP 1992-50136	19920124
OTHER SOURCE(S):			MARPAT 120:164907	

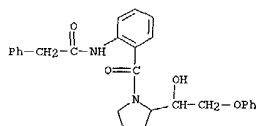
G1



AB Title compds. (I; A = O, NH, CONH, single bond; R = Q-Q<sub>2</sub>, (CH<sub>2</sub>)<sub>k</sub>, NHCHR<sub>1</sub>;  
 k = 1-3; R<sub>1</sub> = H, lower alkyl; W = 2-oxo-1-pyrrolidinyl, R<sub>2</sub>C<sub>6</sub>H<sub>4</sub>; R<sub>2</sub> = H,  
 halo, lower alkyl; X = S, SO, SO<sub>2</sub>, O, NH; R = Q<sub>3</sub>, lower alkyl; l = 0-3; Y,  
 Z = H, halo, lower (fluoro)alkyl, NH<sub>2</sub>, NO<sub>2</sub>, OH, lower alkoxy; or YZ may  
 form (un)satd. 5- or 6-membered rings; n = 1-6) are prepd. I specifically  
 inhibit decomp. and inactivation of proline-contg. brain hormones and  
 neurotransmitters (TSH-releasing hormone, substance P, neurotensin, and  
 vasopressin) and thus are useful for the improvement of said hormone- and  
 neurotransmitters-related diseases and for the prevention and treatment of  
 dementia and amnesia including Alzheimer's disease, directly acting on the  
 core symptoms of dementia. Thus, NaH was stirred with DMSO at 70.degree.  
 and mixt. was dild. with THF, cooled to -5.degree., and then treated with  
 a soln. of Me<sub>3</sub>Si in DMSO followed by a soln. of N-tert-butoxycarbonyl-L-  
 proline in THF to give, after reacting at 0.degree. for 1 h,  
 (2S)-1-(tert-butoxycarbonyl)-2-[(1,2-epoxyethyl)pyrrolidine]. The latter  
 compd. was refluxed with 4-chlorophenol in MeOH contg. NaOMe to give  
 (2S)-1-(tert-butoxycarbonyl)-2-[(2-(4-chlorophenoxy)-1-  
 hydroxyethyl)pyrrolidine]. The latter compd. was deprotected with 4N HCl  
 in 1,4-dioxane and then condensed with benzyl N-(3-phenylpropionyl)-L-  
 proline (prepn. given) using 1-ethyl-2-(3-diethylaminopropyl)carbodiimid

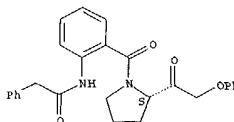
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)  
 e, hydroxybenzotriazole, and N-methylmorpholine in DMF to give  
 (2S)-2-[2-(4-chlorophenoxy)-1-hydroxyethyl]-1-[N-(3-phenylpropionyl)-L-  
 prolyl]pyrrolidine which was oxidized with SO<sub>3</sub>-pyridine complex in DMSO to  
 give (2S)-2-(4-chlorophenoxyacetyl)-1-[N-(3-phenylpropionyl)-L-  
 prolyl]pyrrolidine (II). II at 1 .mu.M and (2S)-1-[N-(4-  
 fluorobenzylaminocarbonyl)-1-prolyl]-2-(4-fluorophenoxyacetyl)pyrrolidine  
 at 0.1 .mu.M inhibited 93% prolyl endopeptidase and did not inhibit  
 trypsin, chymotrypsin, leucine aminopeptidase, elastase, and cathepsin.

IT 153451-28-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as intermediate for prolyl endopeptidase inhibitor)  
 RN 153451-28-6 CAPLUS  
 CN Benzenesacetamide, N-[2-[[2-(1-hydroxy-2-phenoxyethyl)-1-  
 pyrrolidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



IT 153451-04-8P  
 RL: RAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)  
 (prepn. of, as prolyl endopeptidase inhibitor)  
 RN 153451-04-8 CAPLUS  
 CN Benzenesacetamide, N-[2-[[2-(phenoxycetyl)-1-pyrrolidinyl]carbonyl]phenyl]-  
 (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

41.24

189.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

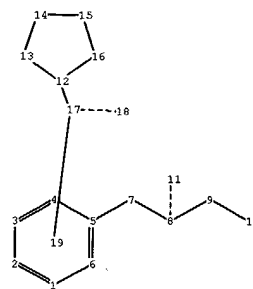
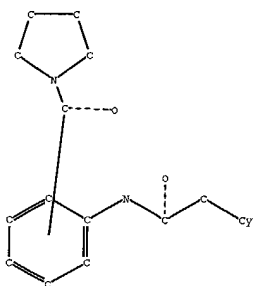
CA SUBSCRIBER PRICE

-5.86

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STN INTERNATIONAL LOGOFF AT 12:45:29 ON 18 AUG 2003

C:\STNEXP4\QUERIES\10051412.str



chain nodes :

7 8 9 10 11 17 18

ring nodes :

1 2 3 4 5 6 12 13 14 15 16

chain bonds :

5-7 7-8 8-9 8-11 9-10 12-17 17-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-16 13-14 14-15 15-16

exact/norm bonds :

5-7 7-8 8-11 9-10 12-13 12-16 12-17 13-14 14-15 15-16 17-18

exact bonds :

8-9

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS  
10:Atom 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS  
18:CLASS 19:CLASS